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Search Results -

Terms	Documents
L35 same (thiol or sulfhydryl or thiolated or SH)	10

Database: US Patents Full-Text Database; US Pre-Grant Publication Full-Text Database; JPO Abstracts Database; EPO Abstracts Database; Derwent World Patents Index; IBM Technical Disclosure Bulletins

Search: L37

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DATE: Wednesday, February 27, 2002 Printable Copy Create Case

Set Name side by side	Query	Hit Count	Set Name result set
DB=USPT; PLUR=YES; OP=ADJ			
L37	L35 same (thiol or sulfhydryl or thiolated or SH)	10	L37
L36	L35 and (thiol or sulfhydryl or thiolated or SH)	152	L36
L35	L33 or L34	941	L35
L34	bioadher$	21	L34
L33	bioadhes$	937	L33
L32	cysteine near3 (acrylic or pectin or hyaluronic)	5	L32
L31	thiolated near5 (carboxymethylcellulose or alginate or hydroxypropylcellulose)	5	L31
L30	thiolated near5 (glycol or acrylic or pectin or hyaluronic)	5	L30
L29	thiolated adj chitosan	0	L29
L28	thiolated adj polymer	2	L28
L27	L1 and sulfhyd$	7	L27

L26	L1 and cyst$	36	L26
L25	L1 and cyst$	34	L25
L24	L1 and thio$	52	L24
L23	L1 and thio$	52	L23
L22	L1 and thio$	52	L22
L21	L1 and thio$	52	L21
L20	L1 and thio$	52	L20
L19	L1 and thio$	52	L19
L18	L1 and thio$	50	L18
L17	L1 and thio$	48	L17
L16	L1 and thio$	42	L16
L15	L1 and thio$	40	L15
L14	L1 and thio$	40	L14
L13	L1 and thio$	31	L13
L12	L1 and thio$	11	L12
L11	L1 and thio$	11	L11
L10	L1 and thio$	10	L10
L9	L1 and (thio$ or sulf$)	153	L9
L8	L1 and (thio$ or sulf$)	148	L8
L7	L1 and (thio$ or sulf$)	143	L7
L6	L1 and (thio$ or sulf$)	141	L6
L5	L1 and (thio$ or sulf$)	133	L5
L4	L1 and (thio$ or sulf$)	133	L4
L3	L1 and (thio$ or sulf$)	125	L3
L2	L1 and (thio$ or sulf$)	33	L2
L1	mucoadhes$	237	L1

END OF SEARCH HISTORY

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 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Sep 17   IMSworld Pharmaceutical Company Directory name change
                   to PHARMASEARCH
 NEWS  3  Oct 09   Korean abstracts now included in Derwent World Patents
                   Index
 NEWS  4  Oct 09   Number of Derwent World Patents Index updates increased
 NEWS  5  Oct 15   Calculated properties now in the REGISTRY/ZREGISTRY File
 NEWS  6  Oct 22   Over 1 million reactions added to CASREACT
 NEWS  7  Oct 22   DGENE GETSIM has been improved
 NEWS  8  Oct 29   AAASD no longer available
 NEWS  9  Nov 19   New Search Capabilities  USPATFULL and USPAT2
 NEWS 10  Nov 19   TOXCENTER(SM) - new toxicology file now available on STN
 NEWS 11  Nov 29   COPPERLIT now available on STN
 NEWS 12  Nov 29   DWPI revisions to NTIS and US Provisional Numbers
 NEWS 13  Nov 30   Files VETU and VETB to have open access
 NEWS 14  Dec 10   WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
 NEWS 15  Dec 10   DGENE BLAST Homology Search
 NEWS 16  Dec 17   WELDASEARCH now available on STN
 NEWS 17  Dec 17   STANDARDS now available on STN
 NEWS 18  Dec 17   New fields for DPCI
 NEWS 19  Dec 19   CAS Roles modified
 NEWS 20  Dec 19   1907-1946 data and page images added to CA and CAplus
 NEWS 21  Jan 25   BLAST(R) searching in REGISTRY available in STN on the Web
 NEWS 22  Jan 25   Searching with the P indicator for Preparations
 NEWS 23  Jan 29   FSTA has been reloaded and moves to weekly updates
 NEWS 24  Feb 01   DKILIT now produced by FIZ Karlsruhe and has a new update
                   frequency
 NEWS 25  Feb 19   Access via Tymnet and SprintNet Eliminated Effective 3/31/02

 NEWS EXPRESS  February 1 CURRENT WINDOWS VERSION IS V6.0d,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
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 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
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FILE 'HOME' ENTERED AT 18:56:54 ON 27 FEB 2002

=> file kosmet
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.15       0.15

FILE 'KOSMET' ENTERED AT 18:57:09 ON 27 FEB 2002
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Chemists

FILE LAST UPDATED: 22 FEB 2002      <20020222/UP>
FILE COVERS 1968 TO DATE.

=> s mucoadhes?
L1             0 MUCOADHES?

=> s bioadhes?
L2             9 BIOADHES?

=> s thiolated or thiol or sulfhydryl
               0 THIOLATED
              43 THIOL
              73 THIOLS
              81 THIOL
                 (THIOL OR THIOLS)
               9 SULFHYDRYL
               3 SULFHYDRYLS
              12 SULFHYDRYL
                 (SULFHYDRYL OR SULFHYDRYLS)
L3            87 THIOLATED OR THIOL OR SULFHYDRYL

=> s L2 and L3
L4             0 L2 AND L3

=> file caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         1.58       1.73

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FILE LAST UPDATED: 26 Feb 2002  (20020226/ED)
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The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the CAS files between 12/27/01 and 1/23/02. As of 1/23/02, the situation has been resolved. Searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator executed between 12/27/01 and 1/23/02 may be incomplete. See the NEWS message on this topic for more information.

```
=> s mucoadhes?
L5          605 MUCOADHES?

=> s bioadhes?
L6         1486 BIOADHES?

=> s L5 or L6
L7         1929 L5 OR L6

=> s thiol or sulfhydryl or thiolated
          37769 THIOL
          25109 THIOLS
          51562 THIOL
                  (THIOL OR THIOLS)
          20185 SULFHYDRYL
           1527 SULFHYDRYLS
          20802 SULFHYDRYL
                  (SULFHYDRYL OR SULFHYDRYLS)
            783 THIOLATED
L8        69370 THIOL OR SULFHYDRYL OR THIOLATED

=> s L7 and L8
L9           19 L7 AND L8

=> s L5 and L8
L10          14 L5 AND L8

=> d L10 1-14 ti

L10  ANSWER 1 OF 14  CAPLUS  COPYRIGHT 2002 ACS
TI   Polymer-cysteamine conjugates: new mucoadhesive excipients for
     drug delivery?

L10  ANSWER 2 OF 14  CAPLUS  COPYRIGHT 2002 ACS
TI   Multifunctional matrices for oral peptide delivery

L10  ANSWER 3 OF 14  CAPLUS  COPYRIGHT 2002 ACS
TI   Development and in vitro evaluation of a mucoadhesive vaginal
     delivery system for progesterone

L10  ANSWER 4 OF 14  CAPLUS  COPYRIGHT 2002 ACS
TI   Design and in vitro evaluation of a mucoadhesive oral delivery
     system for a model polypeptide antigen
```

L10 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI Synthesis and in vitro evaluation of chitosan-thioglycolic acid conjugates

L10 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI **Thiolated** polymers - thiomers: development and in vitro evaluation of chitosan-thioglycolic acid conjugates

L10 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI In vitro evaluation of matrix tablets based on **thiolated** polycarbophil

L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI Improvement in the **mucoadhesive** properties of alginate by the covalent attachment of cysteine

L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI **Mucoadhesive thiolated** polymers: Synthesis and in vitro evaluation of chitosan-thioglycolic acid conjugates

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI Development of controlled drug release systems based on **thiolated** polymers

L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI Synthesis and characterization of **mucoadhesive thiolated** polymers

L10 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI Synthesis and in vitro evaluation of chitosan-cysteine conjugates

L10 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI **Thiolated** polymers: a new generation of **mucoadhesive** polymers

L10 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2002 ACS
TI Polymers with **thiol** groups: a new generation of **mucoadhesive** polymers?

=> d L10 1-14 ibib,abs

L10 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:115990 CAPLUS
TITLE: Polymer-cysteamine conjugates: new **mucoadhesive** excipients for drug delivery?
AUTHOR(S): Kast, Constantia E.; Bernkop-Schnurch, Andreas
CORPORATE SOURCE: Althanstrasse 14, Institute of Pharmaceutical Technology and Biopharmaceutics, Centre of Pharmacy, University of Vienna, A-1090, Vienna, Austria
SOURCE: International Journal of Pharmaceutics (2002), 234(1-2), 91-99
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In the present study, the features of two new **thiolated** polymers-the so-called thiomers-were investigated. Mediated by a carbodiimide cysteamine was covalently attached to sodium CM-cellulose (Na-CMC) and neutralised polycarbophil (Na-PCP). Depending on the

wt.-ratio polymer to cysteamine during the coupling reaction, the resulting CMC-cysteamine conjugate and PCP-cysteamine conjugate showed in max. 43.+-.15 and 138.+-.22 .mu.mole **thiol** groups per g polymer (mean.+-.S.D.; n=3), resp., which were used for further characterization. Tensile studies carried out with the CMC-cysteamine conjugate on freshly excised porcine intestinal mucosa displayed no significantly ($P<0.01$) improved **mucoadhesion**, whereas, the **mucoadhesive** properties of the PCP-cysteamine conjugate were increased 2.5-fold compared with the unmodified polymer. The swelling behavior of the CMC-cysteamine conjugate was uninfluenced by the covalent attachment of the **sulfhydryl** compd. In contrast the swelling behavior of the PCP-cysteamine conjugate was improved significantly ($P<0.01$) vs. unmodified PCP. Furthermore, in aq. solns. the disintegration time of tablets based on the CMC- and PCP-cysteamine conjugates was prolonged 1.5 and 3.2-fold, resp., in comparison to tablets contg. the corresponding unmodified polymers. According to these results, esp. the PCP-cysteamine conjugate represents a promising new pharmaceutical excipient for various drug delivery systems.

L10 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:934937 CAPLUS
TITLE: Multifunctional matrices for oral peptide delivery
AUTHOR(S): Bernkop-Schnurch, Andreas; Walker, Greg
CORPORATE SOURCE: Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Vienna, A-1090, Austria
SOURCE: Critical Reviews in Therapeutic Drug Carrier Systems (2001), 18(5), 459-501
CODEN: CRTSEO; ISSN: 0743-4863
PUBLISHER: Begell House, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The oral administration of peptide drugs represents one of the greatest challenges in pharmaceutical technol. To gain a sufficient bioavailability of these therapeutic agents, various barriers including the mucus-layer barrier, the enzymic barrier, and the membrane barrier have to be overcome. A promising strategy for achieving this goal is the use of multifunctional matrixes. These matrixes are based on polymers that display **mucoadhesive** properties, a permeation-enhancing effect, enzyme-inhibiting properties, and/or a high buffer capacity. Moreover, a sustained or delayed drug release can be provided by delivery systems that contain such polymers. Among them, polyacrylates, cellulose derivs., and chitosan are promising excipients that can also be customized by chem. modification to improve certain properties. For example, the covalent attachment of **thiol** moieties on these polymers leads to improved **mucoadhesive** and permeation-enhancing properties, and the conjugation of enzyme inhibitors enables the matrixes to provide protection for peptide drugs against enzymic degrdn. The efficacy of multifunctional matrixes in oral peptide delivery has been verified by various in vivo studies that could pave the way for the development of com. viable formulations.

REFERENCE COUNT: 187 THERE ARE 187 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:875467 CAPLUS
TITLE: Development and in vitro evaluation of a **mucoadhesive** vaginal delivery system for

progesterone

AUTHOR(S): Valenta, Claudia; Kast, Constantia E.; Harich, Irene; Bernkop-Schnurch, Andreas

CORPORATE SOURCE: University of Vienna, Institute of Pharmaceutical Technology and Biopharmaceutics, Vienna, A-1090, Austria

SOURCE: Journal of Controlled Release (2001), 77(3), 323-332
CODEN: JCREEC; ISSN: 0168-3659

PUBLISHER: Elsevier Science Ireland Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The purpose of the present study was to design a novel carrier system based on a **mucoadhesive** polymer exhibiting improved properties concerning drug delivery to the vaginal mucosa. This was reached by the covalent attachment of l-cysteine to com. available polyacrylic acid (Carbopol 974P). Mediated by a carbodiimide, increasing amts. of l-cysteine were covalently linked to the polymer. The resulting **thiolated** polyacrylic acid conjugates (NaC974P-Cys) displayed between 24.8 and 45.8 .mu.mol **thiol** groups per g of polymer. Because of the formation of intra- and/or intermol. disulfide bonds, the viscosity of an aq. **thiolated** polymer gel (3%) increased about 50% at pH 7.0 within 1 h. In oscillatory rheol. measurements, it was shown that this increase in viscosity is mainly due to the increase in elasticity. Tensile studies carried out on freshly excised cow vagina demonstrated a significant ($P<0.05$) increase in the total work of adhesion (TWA) compared to the unmodified polymer. An amt. of 24.8 .mu.mol **thiol** groups per g of polymer resulted in a 1.45-fold increase in the TWA, whereas an amt. of 45.8 .mu.mol showed an even 2.28-fold increase. These improved **mucoadhesive** properties can be explained by the formation of disulfide bonds between the **thiolated** polymer and cysteine rich subdomaines of the mucus layer. The release rate of the model drug progesterone from tablets based on microcryst. cellulose serving as the ref. was approx. 1% per h, whereas it was 0.58% per h for the unmodified polymer (NaC974P) and 0.12% per h for the **thiolated** polymer (NaC974P-Cys). Therefore, this **thiolated** polymer is a promising carrier for progesterone providing a prolonged residence time and a controlled drug release.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:723905 CAPLUS

TITLE: Design and in vitro evaluation of a **mucoadhesive** oral delivery system for a model polypeptide antigen

AUTHOR(S): Marschutz, M. K.; Puttipipatkhachorn, S.; Bernkop-Schnurch, A.

CORPORATE SOURCE: Institute of Pharmaceutical Technology and Biopharmaceutics, Center of Pharmacy, University of Vienna, Vienna, 1090, Austria

SOURCE: Pharmazie (2001), 56(9), 724-729
CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER: Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel **mucoadhesive** drug carrier system has been generated which protects a model polypeptide antigen from degrdn. by the most abundant intestinal proteases. The enzyme inhibitors antipain, chymostatin and elastatinal, resp., were covalently attached to the **mucoadhesive** polymer sodium CM-cellulose (NaCMC) and the inhibitory efficacy of the resulting polymer-inhibitor conjugates was evaluated in vitro. When these inhibitor conjugates were combined with the **thiolated** polymer polycarbophil-cysteine (PCP-Cys), 95.8 .+-. 3.8% (mean .+-. SD, n = 3) of the incorporated model antigen ovalbumin (OVA) was protected from enzymic degrdn. within 90 min incubation in the presence of an artificial intestinal fluid contg. the pancreatic serine proteases trypsin, chymotrypsin and elastase. Replacing the CMC-inhibitor conjugates in the dosage form by unmodified CMC significantly reduced the protective effect to 78.8 .+-. 4.7% (mean .+-. SD, n = 3), whereas incorporation of the model antigen in a CMC dosage form omitting PCP-Cys protected 72.5 .+-. 3.2% (mean .+-. SD, n = 3) of OVA from degrdn. within a 90 min incubation period. Further, the incorporation of PCP-Cys resulted in higher cohesiveness within the dosage form and controlled drug release of the antigen for a time period of more than 9 h. Results suggest that a delivery system combining **thiolated** polymer and polymer-inhibitor conjugates improves the metabolic stability of the model polypeptide antigen and may therefore be a useful tool for oral protein vaccination.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:600181 CAPLUS

TITLE: Synthesis and in vitro evaluation of chitosan-thioglycolic acid conjugates

AUTHOR(S): Bernkop-Schnurch, Andreas; Hopf, Thorid E.

CORPORATE SOURCE: Institute of Pharmaceutical Technology and Biopharmaceutics, Center of Pharmacy, University of Vienna, Vienna, A-1090, Austria

SOURCE: Sci. Pharm. (2001), 69(2), 109-118
CODEN: SCPHA4; ISSN: 0036-8709

PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The cationic thiomer chitosan-thioglycolic acid (TGA) shows excellent **mucoadhesive** features. In order to deepen the knowledge concerning this new excipient the optimization of its synthesis and a detailed characterization of its properties was the objective of this study Mediated by increasing quantities of a carbodimide, thioglycolic acid was covalently attached to chitosan forming amide bonds with the primary amino groups of the polymer Detd. with Ellman's reagent, 38 .+-. 3, 104 .+-. 2, 685 .+-. 43, and 885 .+-. 7 .mu.mol **thiol** groups (n=3, .+-. SD) were bound per g polymer at carbodiimide concns. of 50, 75, 100, and 125 mM, resp. The immobilized **thiol** groups displayed a comparatively higher reactivity to form disulfide bonds than the **thiol** groups in a corresponding mixt. of chitosan and free unconjugated TGA. In an aq. 0.5% (m/v) chitosan-TGA gel 59 .+-. 5% of the **thiol** groups formed disulfide bonds within 6 h at pH 6.0, whereas merely 5 .+-. 3% were oxidized in the corresponding phys. mixt. of

chitosan and TGA. Diffusion studies showed that the modified polymer was capable of binding cysteine and cysteine Me ester. The result supports the theory that the improved **mucoadhesive** properties of **thiolated** chitosan are based on the formation of disulfide bonds with cysteine moieties of mucus glycoproteins. Because of its availability via an efficient synthetic pathway and its **mucoadhesive** properties based on the capability to bind cysteine subunits, chitosan-TGA seems to be a promising new excipient for various drug delivery systems.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:520176 CAPLUS

TITLE: **Thiolated** polymers - thiomers: development and in vitro evaluation of chitosan-thioglycolic acid conjugates

AUTHOR(S): Kast, C. E.; Bernkop-Schnurch, A.

CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Vienna, A-1090, Austria

SOURCE: Biomaterials (2001), 22(17), 2345-2352
CODEN: BIMADU; ISSN: 0142-9612

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The aim of this study was to improve **mucoadhesive** properties of chitosan by the covalent attachment of **thiol** moieties to this cationic polymer. Mediated by a carbodiimide, thioglycolic acid (TGA) was covalently attached to chitosan. This was achieved by the formation of amide bonds between the primary amino groups of the polymer and the carboxylic acid group of TGA. Dependent on the pH-value and the wt. ratio of polymer to TGA during the coupling reaction the resulting **thiolated** polymers, the so-called thiomers, displayed 6.58, 9.88, 27.44, and 38.23 .mu.mole **thiol** groups per g polymer. Tensile studies carried out with these chitosan-TGA conjugates on freshly excised porcine intestinal mucosa demonstrated a 6.3-, 8.6-, 8.9-, and 10.3-fold increase in the total work of adhesion (TWA) compared to the unmodified polymer, resp. In contrast, the combination of chitosan and free unconjugated TGA showed almost no **mucoadhesion**. These data were in good correlation with further results obtained by another **mucoadhesion** test demonstrating a prolonged residence time of **thiolated** chitosan on porcine mucosa. The swelling behavior of all conjugates was thereby exactly in the same range as for an unmodified polymer pretreated in the same way. Furthermore, it could be shown that chitosan-TGA conjugates are still biodegradable by the glycosidase lysozyme. According to these results, chitosan-TGA conjugates represent a promising tool for the development of **mucoadhesive** drug delivery systems.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:350505 CAPLUS
DOCUMENT NUMBER: 136:107353
TITLE: In vitro evaluation of matrix tablets based on **thiolated** polycarbophil
AUTHOR(S): Clausen, Andreas E.; Bernkop-Schnurch, Andreas
CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Vienna, Austria
SOURCE: Pharmazeutische Industrie (2001), 63(3), 312-317
CODEN: PHINAN; ISSN: 0031-711X
PUBLISHER: Editio Cantor Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Based on **thiolated** polycarbophil, a **mucoadhesive** peptide drug delivery system with improved stability and release properties has been established. Mediated by a carbodiimide, L-cysteine was covalently linked to polycarbophil (PCP). The amt. of cysteine moieties on the polymer was in the range of 72.6.+-.5.8 .mu.mol/g polymer.
Disintegration studies with tablets of **thiolated** PCP (PCP-Cys) demonstrated a stability for 48.3.+-.1.5 min at 37.degree. in 100 mM Tris-HCl pH 6.8, whereas tablets of the corresponding unmodified polymer (PCP) disintegrated within a time period of 13.8.+-.1.6 min (mean .+-. SD, n = 3). During these disintegration studies the amt. of **thiol** groups decreased in tablets consisting exclusively of PCP-Cys by 80.0.+-.4.5%, suggesting that the formation of inter- and/or intramol. disulfide bonds is responsible for this strongly improved stability of tablets based on the **thiolated** polymer. Further expts. demonstrated that this decrease in **thiol** groups can be lowered to 64.2.+-.0.8% by substituting 60 % of the **thiolated** polymer by mannitol. Release studies of the fluorescence labeled model drug insulin showed that an almost zero-order release kinetic can be provided by the use of **thiolated** polycarbophil as carrier matrix. The results represent helpful information in order to improve the stability and release properties of matrix tablets based on **mucoadhesive** polymers.
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:237207 CAPLUS
DOCUMENT NUMBER: 135:157488
TITLE: Improvement in the **mucoadhesive** properties of alginate by the covalent attachment of cysteine
AUTHOR(S): Bernkop-Schnurch, A.; Kast, C. E.; Richter, M. F.
CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Vienna, A-1090, Austria
SOURCE: J. Controlled Release (2001), 71(3), 277-285
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The purpose of the present study was to improve the **mucoadhesive**

properties of alginate by the covalent attachment of cysteine. Mediated by a carbodiimide, L-cysteine was covalently linked to the polymer. The resulting **thiolated** alginate displayed 340.4.+-.74.9 .mu.mol **thiol** groups per g conjugate (means.+-.S.D.; n=4). Within 2 h the viscosity of an aq. mucus/alginate-cysteine conjugate mixt. pH 7.0 increased at 37.degree.C by more than 50% compared to a mucus/alginate mixt., indicating enlarged interactions between the mucus and the **thiolated** polymer. Tensile studies carried out on freshly excised porcine intestinal mucosa demonstrated a total work of adhesion (TWA) of 25.8.+-.0.6 and 101.6.+-.36.1 .mu.J for alginate and the alginate-cysteine conjugate, resp. (means.+-.S.D.; n=5). The max. detachment force (MDF) was thereby in good correlation with the TWA. Due to the immobilization of cysteine, the swelling velocity of the polymer was significantly accelerated ($P<0.05$). In aq. media the alginate-cysteine conjugate was capable of forming inter- and/or intramol. disulfide bonds. Because of this crosslinking process within the polymeric network, the cohesive properties of the conjugate were also improved. Tablets comprising the unmodified polymer disintegrated within 49.+-.14.5 min, whereas tablets of **thiolated** alginate remained stable for 148.8.+-.39.1 min (means.+-.S.D.; n=3). These features should render **thiolated** alginate useful as excipient for various drug delivery systems providing an improved stability and a prolonged residence time on certain mucosal epithelia.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:672530 CAPLUS
DOCUMENT NUMBER: 134:136581
TITLE: **Mucoadhesive thiolated** polymers: Synthesis and in vitro evaluation of chitosan-thioglycolic acid conjugates
AUTHOR(S): Kast, C. E.; Freudl, J.; Bernkop-Schnurch, A.
CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Vienna, A-1090, Austria
SOURCE: Proc. Int. Symp. Controlled Release Bioact. Mater. (2000), 27th, 1222-1223
CODEN: PCRMEY; ISSN: 1022-0178
PUBLISHER: Controlled Release Society, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The covalent attachment of thioglycolic acid to cationic chitosan leads to polymers exhibiting strongly improved **mucoadhesive** properties. Due to the formation of inter- and/or intrachain disulfide bonds based on an oxidn. process, the cohesive properties of the polymer could by improved as well.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:152364 CAPLUS
DOCUMENT NUMBER: 133:94406

TITLE: Development of controlled drug release systems based on **thiolated** polymers
AUTHOR(S): Bernkop-Schnurch, A.; Scholler, S.; Biebel, R. G.
CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology, University of Vienna, Vienna, A-1090, Austria
SOURCE: J. Controlled Release (2000), 66(1), 39-48
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The purpose of the present study was to generate **mucoadhesive** matrix-tablets based on **thiolated** polymers. Mediated by a carbodiimide, L-cysteine was thereby covalently linked to polycarbophil (PCP) and sodium CM-cellulose (CMC). The resulting **thiolated** polymers displayed 100 and 12804 .mu.mol **thiol** groups.g, resp. In aq. solns. these modified polymers were capable of forming inter- and/or intramol. disulfide bonds. The rate of this process augmented with increase of the polymer- and decrease of the proton-concn. The oxidn. proceeded more rapidly within **thiolated** PCP than within **thiolated** CMC. Due to the formation of disulfide bonds within **thiol**-contg. polymers, the stability of matrix-tablets based on such polymers could be strongly improved. Whereas tablets based on the corresponding unmodified polymer disintegrated within 2 h, the swollen carrier matrix of **thiolated** CMC and PCP remained stable for 6.2 h and more than 48 h, resp. Release studies of the model drug rifampicin demonstrated that a controlled release can be provided by **thiolated** polymer tablets. The combination of high stability, controlled drug release and **mucoadhesive** properties renders matrix-tablets based on **thiolated** polymers useful as novel drug delivery systems.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:123953 CAPLUS
DOCUMENT NUMBER: 132:298657
TITLE: Synthesis and characterization of **mucoadhesive thiolated** polymers
AUTHOR(S): Bernkop-Schnurch, A.; Steininger, S.
CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology, University of Vienn, Vienna, A-1090, Austria
SOURCE: Int. J. Pharm. (2000), 194(2), 239-247
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study examd. various factors influencing the **mucoadhesive** properties of **thiolated** polymers (thiomers), which are capable of forming covalent bonds with **thiol** sub-structures of the mucus glycoprotein. Mediated by a carbodiimide, L-cysteine was therefore covalently bound to polycarbophil (PCP) and to CM-cellulose (CMC). The resulting polymer conjugates displayed 12.3 and 22.3 .mu.mol **thiol** groups per g, resp. Whereas the swelling behavior of tablets based on CMC was not markedly influenced by the immobilization of cysteine, it was

improved significantly (P<0.05) in case of PCP. Tensile studies carried out with the unmodified and **thiolated** polymers of pH 3, 5 and 7, resp., revealed that only if the polymer displays a pH-value of 5, the total work of adhesion can be improved significantly due to the covalent attachment of **thiol** groups. These results were in good agreement with a new **mucoadhesion** test system described here taking also the cohesiveness of the delivery system into account. The results represent helpful basic information in order to improve the **mucoadhesive** properties of **thiolated** polymers.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:31626 CAPLUS
DOCUMENT NUMBER: 132:98016
TITLE: Synthesis and in vitro evaluation of chitosan-cysteine conjugates
AUTHOR(S): Bernkop-Schnurch, Andreas; Brandt, Ursula-Maria; Clausen, Andreas E.
CORPORATE SOURCE: Institut Pharmazeutische Technologie, Pharmazie-Zentrum, Univ. Wien, Vienna, A-1090, Austria
SOURCE: Sci. Pharm. (1999), 67(4), 197-208
CODEN: SCPHA4; ISSN: 0036-8709
PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Mediated by a water-sol. carbodiimide cysteine was covalently attached to chitosan. According to the amt. of carbodiimide during the coupling reaction, 0.25, 0.7, and 1.2% of Cys were thereby bound to the polymer. Whereas the **mucoadhesive** properties of chitosan could not be improved due to this modification, the stability of matrix tablets based on **thiolated** chitosan might be strongly improved because of the formation of inter- and/or intramol. disulfide bonds within these polymers. This oxidative process can be accelerated at higher temps. and by lowering the proton concn. on the polymer. Permeation studies carried out by chambers with freshly excised intestinal mucosa from guinea pigs demonstrated furthermore an improved permeation enhancing effect of chitosan due to the covalent attachment of Cys on it.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:758076 CAPLUS
DOCUMENT NUMBER: 132:298491
TITLE: **Thiolated** polymers: a new generation of **mucoadhesive** polymers
AUTHOR(S): Bernkop-Schnuerch, A.
CORPORATE SOURCE: Cent. of Pharm., Inst. of Pharm. Technol., Univ. of Vienna, Vienna, A-1090, Austria
SOURCE: Farm. Vestn. (Ljubljana) (1999), 50(Pos. Stev.), 268-269
CODEN: FMVTAV; ISSN: 0014-8229
PUBLISHER: Slovensko Farmacevtsko Drustvo
DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 4 refs. of the **mucoadhesion**, cohesiveness, and penetration-enhancing capabilities of thiomers (**thiolated** polymers) and their action in inhibiting Zn proteinases. These polymers include conjugates of cysteine with polycarbophil, chitosan, and Na CM-cellulose, and are believed to interact with cysteine-rich subdomains of mucus glycoproteins.

L10 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:408906 CAPLUS
DOCUMENT NUMBER: 131:174949
TITLE: Polymers with **thiol** groups: a new generation of **mucoadhesive** polymers?
AUTHOR(S): Bernkop-Schnurch, Andreas; Schwarz, Veronika; Steininger, Sonja
CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology, University of Vienna, Vienna, A-1090, Austria
SOURCE: Pharm. Res. (1999), 16(6), 876-881
CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Kluwer Academic/Plenum Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The **mucoadhesive** properties of polycarbophil were improved by the introduction of **sulfhydryl** groups. Mediated by a carbodiimide, cysteine was covalently bound to polycarbophil (PCP) forming amide bonds between the primary amino group of the amino acid and the carboxylic acid moieties of the polymer. The amt. of covalently attached cysteine and the formation of disulfide bonds within the modified polymer were detd. by quantifying the share of **thiol** groups on the polymer conjugates with Ellman's reagent. The adhesive properties of polycarbophil-cysteine conjugates were evaluated in vitro on excised porcine intestinal mucosa by detg. the total work of adhesion (TWA). Depending on the wt.-ratio of polycarbophil to cysteine at the coupling reaction, e.g., 16:1 and 2:1, 0.6 .+-. 0.7 .mu.mole and 5.3 .+-. 2.4 .mu.mole cysteine, resp., were covalently bound per g polymer. The modified polymer displayed improved internal cohesive properties due to the formation of interchain disulfide bonds within the polymer in aq. solns. at pH-values above 5. Adhesion studies revealed strongly improved adhesive properties. Whereas the TWA was detd. to be 104 .+-. 21 .mu.J for the unmodified polymer, it was 191 .+-. 47 .mu.J for the polymer-cysteine conjugate 16:1 and 280 .+-. 67 .mu.J for the polymer-cysteine conjugate 2:1. Polymers with **thiol** groups might represent a new generation of **mucoadhesive** polymers displaying comparatively stronger adhesive properties.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 21 February 2002 (20020221/ED)

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L11 365 MUCOADHES?

=> s bioadhes?
L12 623 BIOADHES?

=> s L11 or L12
L13 908 L11 OR L12

=> s thiol or sulfhydryl or thiolated
16699 THIOL
5156 THIOLS
19661 THIOL
(THIOL OR THIOLS)
14530 SULFHYDRYL
908 SULFHYDRYLS
15050 SULFHYDRYL
(SULFHYDRYL OR SULFHYDRYLS)
262 THIOLATED
L14 33274 THIOL OR SULFHYDRYL OR THIOLATED

=> s L13 and L14
L15 5 L13 AND L14

=> d L15 1-5 ti

L15 ANSWER 1 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
TI Development and in vitro evaluation of a **mucoadhesive** vaginal delivery system for progesterone.

L15 ANSWER 2 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
TI Improvement in the **mucoadhesive** properties of alginate by the covalent attachment of cysteine.

L15 ANSWER 3 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
TI Development of controlled drug release systems based on **thiolated** polymers.

L15 ANSWER 4 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
TI Synthesis and characterisation of **mucoadhesive thiolated** polymers.

L15 ANSWER 5 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
TI Polymers with **thiol** groups: A new generation of **mucoadhesive** polymers.

=> d L15 1-5 ibib

L15 ANSWER 1 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
ACCESSION NUMBER: 2002:161356 BIOSIS
DOCUMENT NUMBER: PREV200200161356
TITLE: Development and in vitro evaluation of a **mucoadhesive** vaginal delivery system for progesterone.
AUTHOR(S): Valenta, Claudia (1); Kast, Constantia E.; Harich, Irene; Bernkop-Schnurch, Andreas
CORPORATE SOURCE: (1) Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Althanstrasse 14, A-1090, Vienna: claudia.valenta@univie.ac.at Austria
SOURCE: Journal of Controlled Release, (13 December, 2001) Vol. 77,
No. 3, pp. 323-332.
http://www.elsevier.com/locate/jconrel.
print.
ISSN: 0168-3659.
DOCUMENT TYPE: Article
LANGUAGE: English

L15 ANSWER 2 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
ACCESSION NUMBER: 2001:273548 BIOSIS
DOCUMENT NUMBER: PREV200100273548
TITLE: Improvement in the **mucoadhesive** properties of alginate by the covalent attachment of cysteine.
AUTHOR(S): Bernkop-Schnuerch, Andreas (1); Kast, Constantia E.; Richter, Martina F.
CORPORATE SOURCE: (1) Center of Pharmacy, Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Althanstr. 14, A-1090, Vienna: andreas.bernkop-schnuerch@univie.ac.at Austria
SOURCE: Journal of Controlled Release, (28 April, 2001) Vol. 71, No. 3, pp. 277-285. print.
ISSN: 0168-3659.
DOCUMENT TYPE: Article
LANGUAGE: English
SUMMARY LANGUAGE: English

L15 ANSWER 3 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
ACCESSION NUMBER: 2000:235356 BIOSIS
DOCUMENT NUMBER: PREV200000235356
TITLE: Development of controlled drug release systems based on **thiolated** polymers.
AUTHOR(S): Bernkop-Schnuerch, Andreas (1); Scholler, Sabine; Biebel, Regina G.
CORPORATE SOURCE: (1) Center of Pharmacy, Institute of Pharmaceutical Technology, University of Vienna, Althanstr. 14, A-1090, Vienna Austria
SOURCE: Journal of Controlled Release, (May 3, 2000) Vol. 66, No. 1, pp. 39-48.
ISSN: 0168-3659.
DOCUMENT TYPE: Article
LANGUAGE: English
SUMMARY LANGUAGE: English

L15 ANSWER 4 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
ACCESSION NUMBER: 2000:119913 BIOSIS
DOCUMENT NUMBER: PREV200000119913
TITLE: Synthesis and characterisation of **mucoadhesive thiolated** polymers.

AUTHOR(S): Bernkop-Schnuerch, A. (1); Steininger, S.
CORPORATE SOURCE: (1) Center of Pharmacy, Institute of Pharmaceutical Technology, University of Vienna, Althanstrasse 14, A-1090, Vienna Austria
SOURCE: International Journal of Pharmaceutics (Amsterdam), (Jan. 25, 2000) Vol. 194, No. 2, pp. 239-247. ISSN: 0378-5173.
DOCUMENT TYPE: Article
LANGUAGE: English
SUMMARY LANGUAGE: English

L15 ANSWER 5 OF 5 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
ACCESSION NUMBER: 1999:319303 BIOSIS
DOCUMENT NUMBER: PREV199900319303
TITLE: Polymers with **thiol** groups: A new generation of **mucoadhesive** polymers.
AUTHOR(S): Bernkop-Schnurch, Andreas (1); Schwarz, Veronika; Steininger, Sonja
CORPORATE SOURCE: (1) Center of Pharmacy, Institute of Pharmaceutical Technology, University of Vienna, Althanstr. 14, Vienna, A-1090 Austria
SOURCE: Pharmaceutical Research (New York), (June, 1999) Vol. 16, No. 6, pp. 876-881. ISSN: 0724-8741.
DOCUMENT TYPE: Article
LANGUAGE: English
SUMMARY LANGUAGE: English

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FULL ESTIMATED COST	12.67	64.26

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L1 0 S MUCOADHES?
L2 9 S BIOADHES?
L3 87 S THIOLATED OR THIOL OR SULFHYDRYL
L4 0 S L2 AND L3

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L5 605 S MUCOADHES?
L6 1486 S BIOADHES?

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L7          1929 S L5 OR L6
L8         69370 S THIOL OR SULFHYDRYL OR THIOLATED
L9            19 S L7 AND L8
L10           14 S L5 AND L8

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L12          623 S BIOADHES?
L13          908 S L11 OR L12
L14        33274 S THIOL OR SULFHYDRYL OR THIOLATED
L15            5 S L13 AND L14

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